

A method for modifying the characteristics of a protein, comprising the steps of:

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attaching a lipid substituent to the protein by a covalent linkage of at least one lipoamine residue to a carbohydrate side chain to produce a lipidized protein; and recovering the lipidized protein.

- 1 A method according to Claim 1, wherein the lipid 2 substituent is a lipoamine.
- A method according to Claim 2, wherein the step of 2 attaching further comprises the steps of 3 oxidizing a carbohydrate on a glycosylated 4 polypeptide to produce an oxidized glycoprotein; and 5 reacting the oxidized glycoprotein with a lipoamine under suitable reaction conditions to form a lipidized protein. 6
  - A method according to Claim 2, wherein the lipoamine is a straight-chain lipoamine according to the formula:

$$NH_2-R-(CH_2)_n-CH_3$$

where R is selected from the group consisting of: disubstituted alkyl (alkylene); 1,4-disubstituted cyclohexyl; disubstituted aryl (aryleme); amido group of the formula - $(CHR_1)$ -CO-NH- wherein  $R_1$  is hydrogen or an amino group; alkylcarbonyl; and phosphate diester; n is 1-50.

A method according to Claim 2, wherein the lipoamine is a branched-chain lipoamine according to the formula:

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where R' is: a trisubstituted alkyl; a trisubstituted aryl; an amido group of the formula -(CHR $_1$ )-CO-N< wherein R $_1$  is

hydrogen or an amino group; an imino group of the formula -  $CH_2$ -NH-CH< wherein  $R_2$  is hydrogen or an amino group or an imino group of the formula  $-CH_2$ -N<; or a phosphate diester; m is 1-50; n is 1-50; and m and n are selected independently.

- 1 6. A method according to claim 5, wherein the branched-chain
- 2 lipoamine is glycyldioctadecylamide.
- 1 7. A method according to Claim 1, wherein the protein is a
- 2 naturally-occurring glycoprotein.
- 1 8. A method according to Claim 1, wherein the protein is
- 2 encoded by an immunoglobulin superfamily gene.
- 1 9. A method for targeting an intracellular protein for 2 binding with an antibody in a cell, comprising contacting the
- 3 cell with a lipid zed antibody which binds specifically with
- the intracellular protein.
- 1 10. A method according to Claim 9, wherein the lipidized
- 2 antibody comprises at least one lipoamine residue linked to a
- 3 carbohydrate side chain of an immunoglobulin.
- 1 11. A method according to Claim 14, wherein the lipoamine is 2 glycyldioctadecylamide.
- 1 12. A method according to Claim 13, wherein the lipidized
- 2 antibody is administered to a living mammalian cells in vivo.
- 1 13. A method according to Claim 12, wherein the lipidized
- 2 antibody is taken up into the living cells to a greater extent
- 3 than is a comparable antibody having the same amino acid
- 4 sequence(s) and the same glycosylation pattern and lacking
- 5 lipidization.
  - 14. A composition for therapy or prophylaxis of a disease, comprising a therapeutically effective dosage of a lipidized protein.

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- A composition according to Claim 14, wherein the lipidized 1
- 2 protein is an antibody.
- A composition according to Claim 15, wherein the antibody 1
- 2 binds to an intracellular protein.
- A composition according to Claim 16, wherein the 1
- intracellular protein is a viral-encoded protein. 2
- A composition according to Claim 17, wherein the viral-1
- encoded protein is a Tat protein encoded by HIV-1. 2
- A composition for prophylaxis, comprising a 1
- prophylactically effective dosage of a lipidized antibody 2
- wherein a lipid substituent is covalently linked to the
- antibody by a covalend linkage of at least one lipoamine
- residue to a carbohydrate side chain to produce a lipidized 5
- 6 antibody.
  - A composition comprising a lipidized antibody linked to a
- diagnostic reporter, wherein a lipid substituent is covalently linked to the antibody by a  $\Diamond$ ovalent linkage of at least one 3
- lipoamine residue to a carbohydrate side chain to produce a 4
- 5 lipidized antibody.

- A composition of claim 20, wherein the antibody binds to an antigen intracellularly in living cells.
- A composition of claim 22, wherein the antibody binds to 1 the HIV-1 Tat protein intracellularly in HIV-infected human
- 3 cells.
- A method for diagnosing a pathological condition, 1
- 2 comprising the steps of:
- administering a ipidized antibody comprising a 3
- 4 diagnostic reporter to a cell sample; and
- detecting the presence of cells in which the 5
- diagnostic reporter is preferentially localized. 6